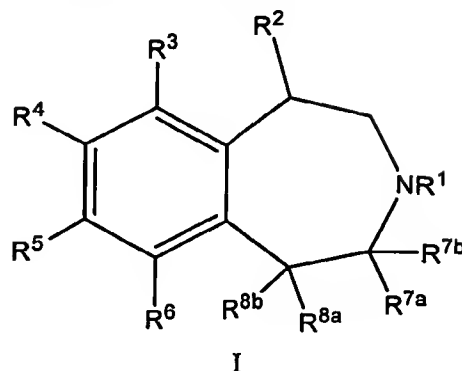


What is claimed is:

1. A process for preparing a compound of Formula I:



or salt form thereof,

wherein:

R^1 is H;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

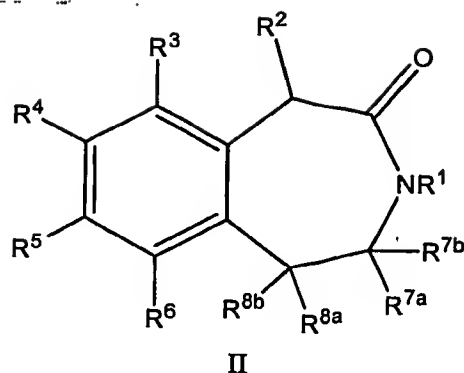
R^{7a} and R^{7b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring;

comprising reacting a compound of Formula II:



with a reducing agent optionally in the presence of a Lewis acid for a time and under conditions suitable for forming said compound of Formula I or salt form thereof.

2. The process of claim 1 wherein said reducing agent comprises a borane.
3. The process of claim 1 wherein said reducing agent comprises BH_3 .
4. The process of claim 1 wherein said reducing agent comprises a metal hydride.
5. The process of claim 1 wherein said reducing agent comprises a borohydride or aluminum hydride.

6. The process of claim 1 wherein:

R^2 is $\text{C}_1\text{-C}_8$ alkyl, $-\text{CH}_2\text{-O-(C}_1\text{-C}_8\text{ alkyl)}$, $\text{C(O)O-(C}_1\text{-C}_8\text{ alkyl)}$, $-\text{C(O)NH-(C}_1\text{-C}_8\text{ alkyl)}$, OH, or CH_2OH ;

R^3 and R^6 are each H;

R^4 and R^5 are each, independently, H, halo, $\text{C}_1\text{-C}_8$ haloalkyl, hydroxy, OR^9 , SR^9 , alkoxyalkyl, NHR^{10} , $\text{NR}^{10}\text{R}^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from $\text{C}_1\text{-C}_8$ alkyl, halo, $\text{C}_1\text{-C}_8$ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and $\text{C}_1\text{-C}_8$ alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or $\text{C}_1\text{-C}_8$ alkyl;

R^{8a} and R^{8b} are each H; and

R^{10} and R^{11} are each, independently, $\text{C}_1\text{-C}_8$ alkyl, $\text{C}_1\text{-C}_8$ alkenyl, $\text{C}_1\text{-C}_8$ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative; and

(B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H.

7. The process of claim 6 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.
8. The process of claim 6 wherein R^2 is methyl.
9. The process of claim 6 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.
10. The process of claim 6 wherein R^4 is Cl.
11. The process of claim 6 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halo, and alkoxy.
12. The process of claim 6 wherein R^5 is H.
13. The process of claim 1 wherein:

R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and

R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;

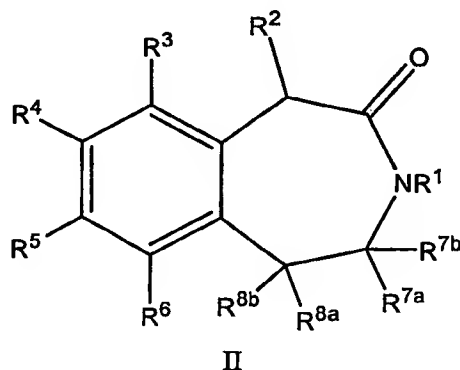
provided that:

(H) when R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or CH_2OH , then R^3 and R^6 are not both H;

and

(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.
14. The process of claim 13 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.
15. The process of claim 13 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .
16. The process of claim 13 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.
17. The process of claim 1 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
18. The process of claim 1 wherein R^3 and R^6 are each H.

19. The process of claim 1 wherein R^3 , R^5 , and R^6 are each H.
20. The process of claim 1 wherein R^4 is halo.
21. The process of claim 1 wherein R^4 is Cl.
22. The process of claim 1 wherein R^2 is C_1 - C_4 alkyl.
23. The process of claim 1 wherein R^2 is methyl.
24. The process of claim 1 wherein R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
25. The process of claim 1 wherein R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
26. The process of claim 1 wherein said compound of Formula I has an *S* configuration at the carbon bearing R^2 .
27. The process of claim 1 wherein said compound of Formula I has an *R* configuration at the carbon bearing R^2 .
28. A process for preparing a compound of Formula II:



or salt form thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

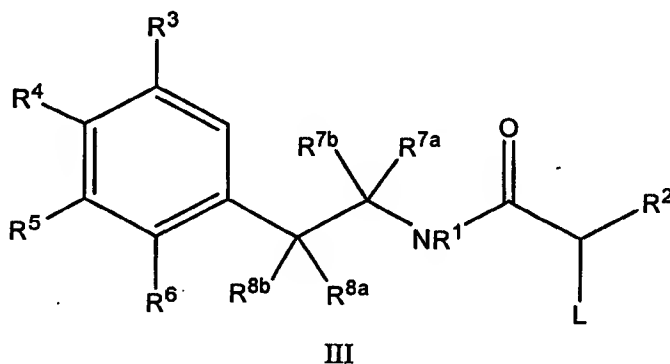
R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN , NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} and R^{7b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; comprising reacting a compound of Formula III:



or salt form thereof, wherein:

L is halo, hydroxy, C_1 - C_8 alkoxy, C_1 - C_8 thioalkoxy, C_1 - C_8 acyloxy, $-OSO_2R$, or $-OSi(R')_3$;

R is C_1 - C_8 alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkoxy; and

R' is C_1 - C_8 alkyl;

with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula II or salt form thereof.

29. The process of claim 28 wherein said cyclizing reagent comprises a Lewis acid.

30. The process of claim 28 wherein said cyclizing reagent comprises a C₁-C₈ alkyl aluminum halide.
31. The process of claim 28 wherein said cyclizing reagent comprises a C₂-C₁₆ dialkyl aluminum halide.
32. The process of claim 28 wherein said cyclizing reagent comprises AlCl₃.
33. The process of claim 28 wherein said cyclizing reagent comprises an acid.
34. The process of claim 28 wherein said cyclizing reagent comprises sulfuric acid.
35. The process of claim 28 wherein said reacting is carried out in the absence of solvent.
36. The process of claim 28 wherein said reacting is carried out in the presence of solvent.
37. The process of claim 28 wherein said reacting is carried out in a non-polar solvent.
38. The process of claim 28 wherein said reacting is carried out in a solvent comprising decahydronaphthalene or 1,2-dichlorobenzene.
39. The process of claim 28 wherein said reacting is carried out at elevated temperature.
40. The process of claim 28 wherein:

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, or CH₂OH;

R³ and R⁶ are each H;

R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, SR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;

(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and

(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

41. The process of claim 40 wherein R¹ is H.

42. The process of claim 40 wherein R¹ is C₁-C₈ alkyl.

43. The process of claim 40 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

44. The process of claim 40 wherein R² is methyl.

45. The process of claim 40 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

46. The process of claim 40 wherein R⁴ is Cl.

47. The process of claim 40 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

48. The process of claim 40 wherein R⁵ is H.

49. The process of claim 28 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

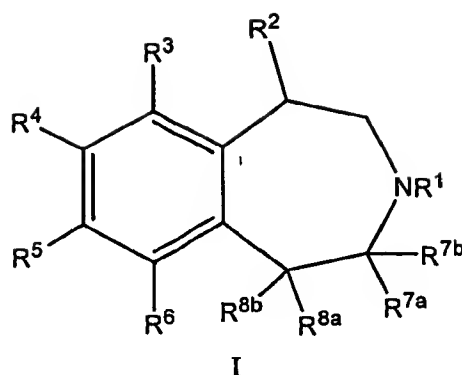
provided that:

(H) when R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or CH₂OH, then R³ and R⁶ are not both H; and

(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

50. The process of claim 49 wherein R¹ is H.

51. The process of claim 212 wherein R¹ is C₁-C₈ alkyl.
52. The process of claim 213 wherein R² is C₁-C₄ alkyl or C₁-C₄ haloalkyl.
53. The process of claim 214 wherein R² is methyl, ethyl, isopropyl, n-butyl, or CF₃.
54. The process of claim 49 wherein R³, R⁴, R⁵, and R⁶ are each, independently, H, methyl, NH₂, CN, halo, CF₃, NO₂, or OH.
55. The process of claim 28 wherein R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H.
56. The process of claim 28 wherein R³ and R⁶ are each H.
57. The process of claim 28 wherein R³, R⁵, and R⁶ are each H.
58. The process of claim 28 wherein R⁴ is halo.
59. The process of claim 28 wherein R⁴ is Cl.
60. The process of claim 28 wherein R² is C₁-C₄ alkyl.
61. The process of claim 28 wherein R² is methyl.
62. The process of claim 28 wherein R¹ is H.
63. The process of claim 28 wherein R¹ is H or C₁-C₄ alkyl, R² is C₁-C₄ alkyl, R³ is H, R⁴ is halo, R⁵ is H, R⁶ is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
64. The process of claim 28 wherein R¹ is H, R² is Me, R³ is H, R⁴ is Cl, R⁵ is H, R⁶ is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
65. A process for preparing a compound of Formula I:



or salt form thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} and R^{7b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

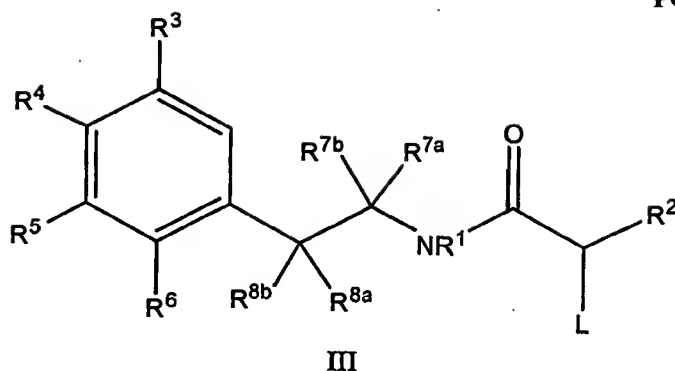
R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring;

comprising:

- (a) reacting a compound of Formula III:



or salt form thereof,

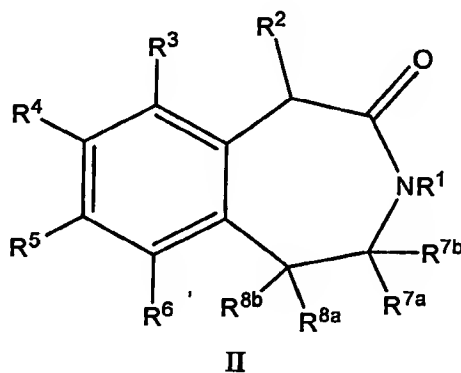
wherein:

L is halo, hydroxy, C₁-C₈ alkoxy, C₁-C₈ thioalkoxy, C₁-C₈ acyloxy, -OSO₂R, or -OSi(R')₃;

R is C₁-C₈ alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, or C₁-C₄ haloalkoxy; and

R' is C₁-C₈ alkyl;

with a cyclizing reagent for a time and under conditions suitable for forming a compound of Formula II:



or salt form thereof; and

(b) reacting said compound of Formula II or salt form thereof with a reducing agent optionally in the presence of a Lewis acid for a time and under conditions suitable for forming said compound of Formula I or salt form thereof.

66. The process of claim 65 wherein said cyclizing reagent comprises a Lewis acid.
67. The process of claim 65 wherein said cyclizing reagent comprises a C₁-C₈ alkyl aluminum halide.
68. The process of claim 65 wherein said cyclizing reagent comprises a C₂-C₁₆ dialkyl aluminum halide.
69. The process of claim 65 wherein said cyclizing reagent comprises AlCl₃.

70. The process of claim 65 wherein said cyclizing reagent comprises an acid.
71. The process of claim 65 wherein said cyclizing reagent comprises sulfuric acid.
72. The process of claim 65 wherein said reacting of step a) is carried out in the absence of solvent.
73. The process of claim 65 wherein said reacting of step a) is carried out in the presence of solvent.
74. The process of claim 65 wherein said reacting of step a) is carried out in a non-polar solvent.
75. The process of claim 65 wherein said reacting of step a) is carried out in a solvent comprising decahydronaphthalene or 1,2-dichlorobenzene.
76. The process of claim 65 wherein said reducing agent comprises a borane.
77. The process of claim 65 wherein said reducing agent comprises BH_3 .
78. The process of claim 65 wherein said reducing agent comprises a metal hydride.
79. The process of claim 65 wherein said reducing agent comprises a borohydride or aluminum hydride.
80. The process of claim 65 wherein:
 R^2 is $\text{C}_1\text{-C}_8$ alkyl, $-\text{CH}_2\text{-O-(C}_1\text{-C}_8\text{ alkyl)}$, $\text{C(O)O-(C}_1\text{-C}_8\text{ alkyl)}$, $-\text{C(O)NH-(C}_1\text{-C}_8\text{ alkyl)}$, OH, or CH_2OH ;
 R^3 and R^6 are each H;
 R^4 and R^5 are each, independently, H, halo, $\text{C}_1\text{-C}_8$ haloalkyl, hydroxy, OR^9 , SR^9 , alkoxyalkyl, NHR^{10} , $\text{NR}^{10}\text{R}^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from $\text{C}_1\text{-C}_8$ alkyl, halo, $\text{C}_1\text{-C}_8$ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and $\text{C}_1\text{-C}_8$ alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;
 R^{7a} is H;
 R^{7b} is H or $\text{C}_1\text{-C}_8$ alkyl;
 R^{8a} and R^{8b} are each H; and
 R^{10} and R^{11} are each, independently, $\text{C}_1\text{-C}_8$ alkyl, $\text{C}_1\text{-C}_8$ alkenyl, $\text{C}_1\text{-C}_8$ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;
 provided that:

(A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;

(C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $NR^{10}R^{11}$; and

(D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

81. The process of claim 80 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.

82. The process of claim 80 wherein R^2 is methyl.

83. The process of claim 80 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

84. The process of claim 80 wherein R^4 is Cl.

85. The process of claim 80 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halo, and alkoxy.

86. The process of claim 80 wherein R^5 is H.

87. The process of claim 65 wherein:

R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and

R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;

provided that:

(H) when R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or CH_2OH , then R^3 and R^6 are not both H; and

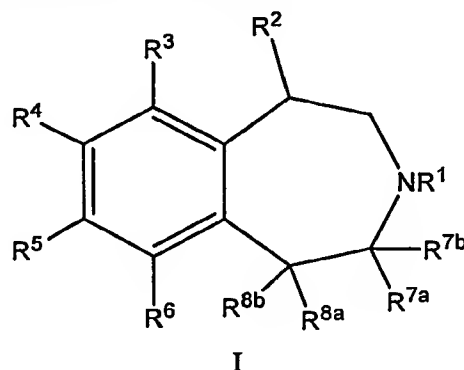
(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.

88. The process of claim 65 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.

89. The process of claim 65 wherein R^3 and R^6 are each H.

90. The process of claim 65 wherein R^3 , R^5 , and R^6 are each H.

91. The process of claim 65 wherein R^4 is halo.
92. The process of claim 65 wherein R^4 is Cl.
93. The process of claim 65 wherein R^2 is C_1 - C_4 alkyl.
94. The process of claim 65 wherein R^2 is methyl.
95. The process of claim 65 wherein R^1 is H.
96. The process of claim 65 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
97. The process of claim 65 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
98. The process of claim 65 wherein said compound of Formula I has an *S* configuration at the carbon bearing R^2 .
99. The process of claim 65 wherein said compound of Formula I has an *R* configuration at the carbon bearing R^2 .
100. A process for preparing a compound of Formula I:



or salt form thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, hydroxy, mercapto, OR⁹, SR⁹, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR¹⁰R¹¹, CN, NO₂, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

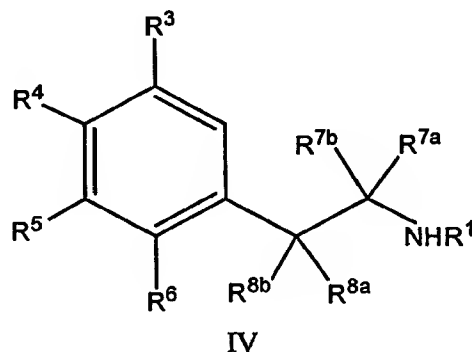
R^{7a} and R^{7b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

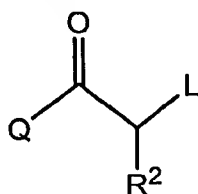
R^9 is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R^{10} and R^{11} are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; comprising:

(a) reacting a compound of Formula IV:



or salt form thereof, with a compound of Formula:



wherein:

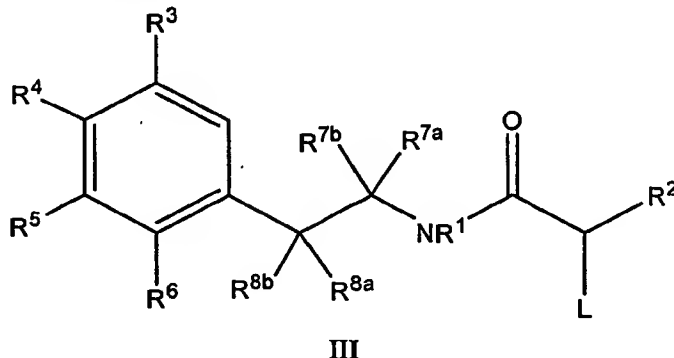
L is halo, hydroxy, C₁-C₈ alkoxy, C₁-C₈ thioalkoxy, C₁-C₈ acyloxy, -OSO₂R, or -OSi(R')₃;

R is C₁-C₈ alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, or C₁-C₄ haloalkoxy;

R' is C₁-C₈ alkyl; and

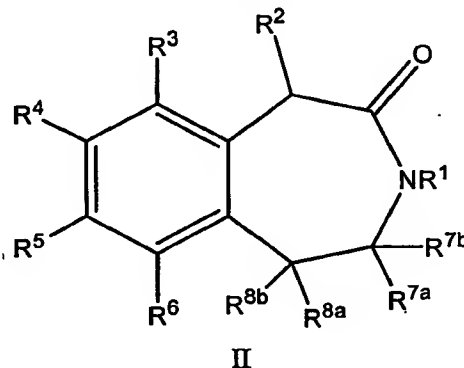
Q is a leaving group,

for a time and under conditions suitable for forming a compound of Formula III:



or salt form thereof;

(b) reacting said compound of Formula III or salt form thereof, with a cyclizing reagent for a time and under conditions suitable for forming a compound of Formula II:



or salt form thereof; and

(c) reacting said compound of Formula II with a reducing agent optionally in the presence of a Lewis acid for a time and under conditions suitable for forming said compound of Formula I or salt form thereof.

101. The process of claim 100 wherein Q is hydroxy, alkoxy, halo, or O(CO)R^Q, wherein R^Q is C₁-C₈ alkyl, C₃-C₇ cycloalkyl, aryl, heteroaryl, or heterocycloalkyl.

102. The process of claim 100 wherein Q is halo.

103. The process of claim 100 wherein Q is Cl.

104. The process of claim 100 wherein then reacting of step (a) is carried out in the presence of base.

105. The process of claim 100 wherein said cyclizing reagent comprises a Lewis acid.
106. The process of claim 100 wherein said cyclizing reagent comprises a C₁-C₈ alkyl aluminum halide.
107. The process of claim 100 wherein said cyclizing reagent comprises a C₂-C₁₆ dialkyl aluminum halide.
108. The process of claim 100a wherein said cyclizing reagent comprises AlCl₃.
109. The process of claim 100 wherein said cyclizing reagent comprises an acid.
110. The process of claim 100 wherein said cyclizing reagent comprises sulfuric acid.
111. The process of claim 100 wherein said reacting of step b) is carried out in the absence of solvent.
112. The process of claim 100 wherein said reacting of step b) is carried out in the presence of solvent.
113. The process of claim 100 wherein said reacting of step b) is carried out in a non-polar solvent.
114. The process of claim 100 wherein said reacting of step b) is carried out in a solvent comprising decahydronaphthalene or 1,2-dichlorobenzene.
115. The process of claim 100 wherein said reducing agent comprises a borane.
116. The process of claim 100 wherein said reducing agent comprises BH₃.
117. The process of claim 100 wherein said reducing agent comprises a metal hydride.
118. The process of claim 100 wherein said reducing agent comprises a borohydride or aluminum hydride.
119. The process of claim 100 wherein:
R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, or CH₂OH;
R³ and R⁶ are each H;
R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, SR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents

selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;

(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and

(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

120. The process of claim 119 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

121. The process of claim 119 wherein R² is methyl.

122. The process of claim 119 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

123. The process of claim 119 wherein R⁴ is Cl.

124. The process of claim 119 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

125. The process of claim 119 wherein R⁵ is H.

126. The process of claim 100 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

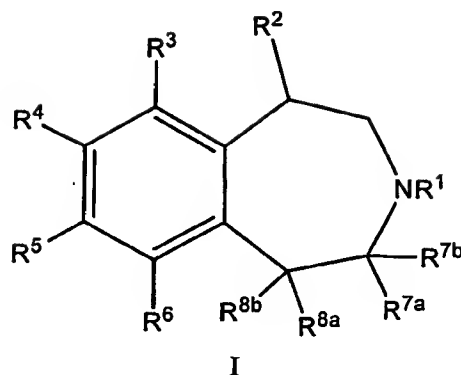
R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

provided that:

(H) when R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or CH₂OH, then R³ and R⁶ are not both H;
and

(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

127. The process of claim 100 wherein R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H.
128. The process of claim 100 wherein R³ and R⁶ are each H.
129. The process of claim 100 wherein R³, R⁵, and R⁶ are each H.
130. The process of claim 100 wherein R⁴ is halo.
131. The process of claim 100 wherein R⁴ is Cl.
132. The process of claim 100 wherein R² is C₁-C₄ alkyl.
133. The process of claim 100 wherein R² is methyl.
134. The process of claim 100 wherein R¹ is H.
135. The process of claim 100 wherein R¹ is H or C₁-C₄ alkyl, R² is C₁-C₄ alkyl, R³ is H, R⁴ is halo, R⁵ is H, R⁶ is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
136. The process of claim 100 wherein R¹ is H, R² is Me, R³ is H, R⁴ is Cl, R⁵ is H, R⁶ is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
137. The process of claim 100 wherein said compound of Formula I has an *S* configuration at the carbon bearing R².
138. The process of claim 100 wherein said compound of Formula I has an *R* configuration at the carbon bearing R².
139. A process for preparing a compound of Formula I:



or salt form thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

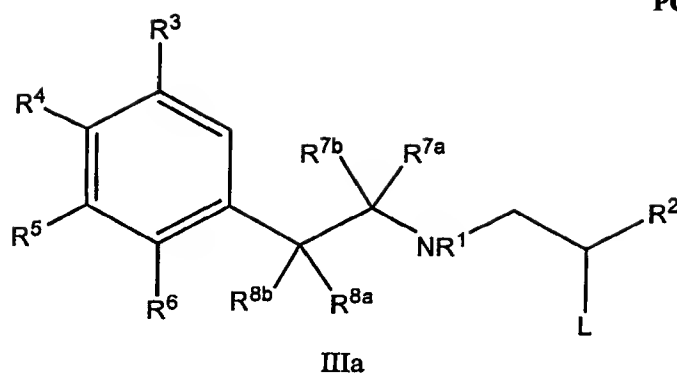
R^{7a} and R^{7b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring;

comprising reacting a compound of Formula IIIa:



wherein:

L is halo, hydroxy, C₁-C₈ alkoxy, C₁-C₈ thioalkoxy, C₁-C₈ acyloxy, -OSO₂R, or -OSi(R')₃;

R is C₁-C₈ alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, or C₁-C₄ haloalkoxy; and

R' is C₁-C₈ alkyl;

with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula I.

140. The process of claim 139 wherein said cyclizing reagent comprises a Lewis acid.
141. The process of claim 139 wherein said cyclizing reagent comprises a C₁-C₈ alkyl aluminum halide.
142. The process of claim 139 wherein said cyclizing reagent comprises a C₂-C₁₆ dialkyl aluminum halide.
143. The process of claim 139 wherein said cyclizing reagent comprises AlCl₃.
144. The process of claim 139 wherein said cyclizing reagent comprises an acid.
145. The process of claim 139 wherein said cyclizing reagent comprises sulfuric acid.
146. The process of claim 139 wherein said reacting is carried out in the absence of solvent.
147. The process of claim 139 wherein said reacting is carried out in the presence of solvent.
148. The process of claim 139 wherein said reacting is carried out in a non-polar solvent.
149. The process of claim 139 wherein said reacting is carried out in a solvent comprising decahydronaphthalene or 1,2-dichlorobenzene.

150. The process of claim 139 wherein said reacting is carried out at elevated temperature.

151. The process of claim 139 wherein:

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, or CH_2OH ;

R^3 and R^6 are each H;

R^4 and R^5 are each, independently, H, halo, C_1 - C_8 haloalkyl, hydroxy, OR^9 , SR^9 , alkoxyalkyl, NHR^{10} , $NR^{10}R^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C_1 - C_8 alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C_1 - C_8 alkyl;

R^{8a} and R^{8b} are each H; and

R^{10} and R^{11} are each, independently, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;

(C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $NR^{10}R^{11}$; and

(D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

152. The process of claim 151 wherein R^1 is H.

153. The process of claim 151 wherein R^1 is C_1 - C_8 alkyl.

154. The process of claim 151 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.

155. The process of claim 151 wherein R^2 is methyl.

156. The process of claim 151 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

157. The process of claim 151 wherein R^4 is Cl.

158. The process of claim 151 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halo, and alkoxy.
159. The process of claim 151 wherein R^5 is H.
160. The process of claim 139 wherein:
 R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, C_1 - C_4 haloalkyl, or CH_2OH ;
 R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and
 R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;
provided that:
(H) when R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or CH_2OH , then R^3 and R^6 are not both H;
and
(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.
161. The process of claim 160 wherein R^1 is H.
162. The process of claim 160 wherein R^1 is C_1 - C_8 alkyl.
163. The process of claim 160 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.
164. The process of claim 160 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .
165. The process of claim 160 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.
166. The process of claim 139 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
167. The process of claim 139 wherein R^3 and R^6 are each H.
168. The process of claim 139 wherein R^3 , R^5 , and R^6 are each H.
169. The process of claim 139 wherein R^4 is halo.
170. The process of claim 139 wherein R^4 is Cl.

171. The process of claim 139 wherein R^2 is C_1 - C_4 alkyl.

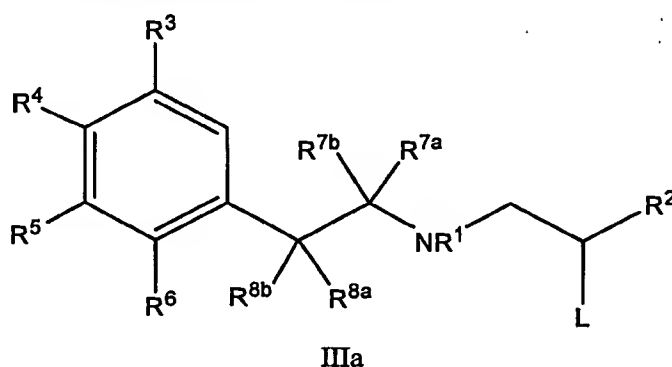
172. The process of claim 139 wherein R^2 is methyl.

173. The process of claim 139 wherein R^1 is H.

174. The process of claim 139 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

175. The process of claim 139 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

176. A process for preparing a compound of Formula IIIa:



or salt form thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} and R^{7b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or

WO 2005/019179
hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R⁹ is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

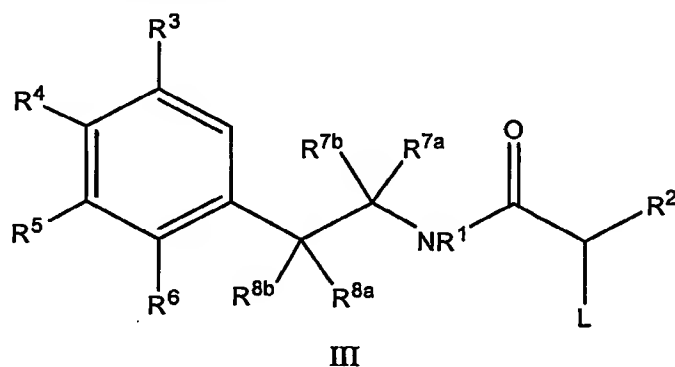
R¹⁰ and R¹¹ are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R¹⁰ and R¹¹ together with the N atom to which they are attached form a heterocyclic ring;

L is halo, hydroxy, C₁-C₈ alkoxy, C₁-C₈ thioalkoxy, C₁-C₈ acyloxy, -OSO₂R, or -OSi(R')₃;

R is C₁-C₈ alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, or C₁-C₄ haloalkoxy; and

R' is C₁-C₈ alkyl;

comprising reacting a compound of Formula III:



with a reducing agent optionally in the presence of a Lewis acid for a time and under conditions suitable for forming said compound of Formula IIIa.

177. The process of claim 176 wherein the stereochemistry of chiral centers present in said compound of Formula IIIa is retained in said compound of Formula III.

178. The process of claim 176 wherein said reducing agent comprises a borane.

179. The process of claim 176 wherein said reducing agent comprises BH₃.

180. The process of claim 176 wherein said reducing agent comprises a metal hydride.

181. The process of claim 176 wherein said reducing agent comprises a borohydride or aluminum hydride.

182. The process of claim 176 wherein:

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, or CH₂OH;

R³ and R⁶ are each H;

R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, SR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;

(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and

(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

183. The process of claim 182 wherein R¹ is H.

184. The process of claim 182 wherein R¹ is C₁-C₈ alkyl.

185. The process of claim 182 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

186. The process of claim 182 wherein R² is methyl.

187. The process of claim 182 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

188. The process of claim 182 wherein R⁴ is Cl.

189. The process of claim 182 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

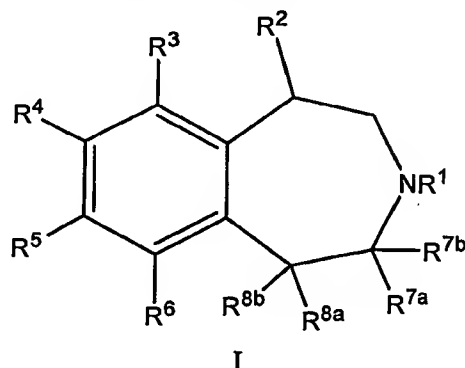
190. The process of claim 182 wherein R^5 is H.
191. The process of claim 176 wherein:
 R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, C_1 - C_4 haloalkyl, or CH_2OH ;
 R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and
 R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;
provided that:
(H) when R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or CH_2OH , then R^3 and R^6 are not both H;
and
(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.
192. The process of claim 191 wherein R^1 is H.
193. The process of claim 191 wherein R^1 is C_1 - C_8 alkyl.
194. The process of claim 191 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.
195. The process of claim 191 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .
196. The process of claim 191 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.
197. The process of claim 176 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
198. The process of claim 176 wherein R^3 and R^6 are each H.
199. The process of claim 176 wherein R^3 , R^5 , and R^6 are each H.
176. The process of claim 176 wherein R^4 is halo.
201. The process of claim 176 wherein R^4 is Cl.
202. The process of claim 176 wherein R^2 is C_1 - C_4 alkyl.
203. The process of claim 176 wherein R^2 is methyl.

204. The process of claim 176 wherein R^1 is H.

205. The process of claim 176 wherein R^1 is H or C₁-C₄ alkyl, R^2 is C₁-C₄ alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

206. The process of claim 176 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

207. A process for preparing a compound of Formula I:



or salt form thereof,

wherein:

R^1 is H or C₁-C₈ alkyl;

R^2 is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, C₁-C₄ haloalkyl, or CH₂OH;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, hydroxy, mercapto, OR⁹, SR⁹, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR¹⁰R¹¹, CN, NO₂, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

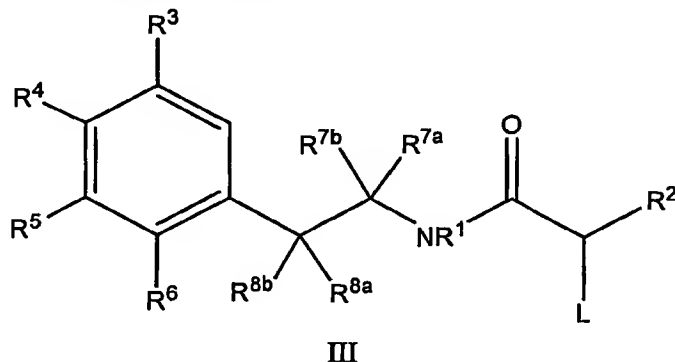
R^{7a} and R^{7b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R^9 is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; comprising

a) reacting a compound of Formula III:



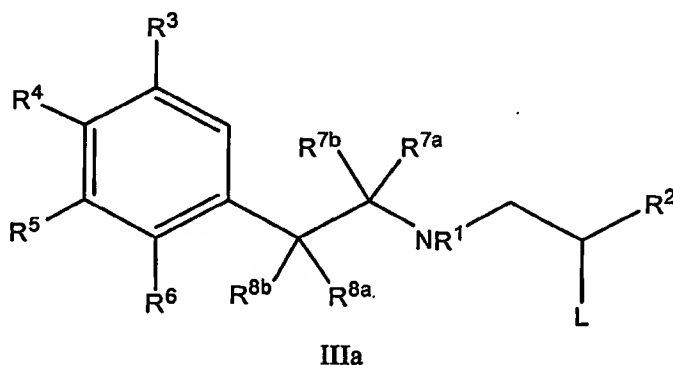
wherein:

L is halo, hydroxy, C_1 - C_8 alkoxy, C_1 - C_8 thioalkoxy, C_1 - C_8 acyloxy, $-\text{OSO}_2\text{R}$, or $-\text{OSi}(\text{R}')_3$;

R is C_1 - C_8 alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkoxy; and

R' is C_1 - C_8 alkyl;

with a reducing agent optionally in the presence of a Lewis acid for a time and under conditions suitable for forming a compound of Formula IIIa:



and

b) reacting said compound of Formula IIIa with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula I.

208. The process of claim 207 wherein the stereochemistry of chiral centers present in said compound of Formula III is retained.

209. The process of claim 207 wherein said reducing agent comprises a borane.

210. The process of claim 207 wherein said reducing agent comprises BH_3 .
211. The process of claim 207 wherein said reducing agent comprises a metal hydride.
212. The process of claim 207 wherein said reducing agent comprises a borohydride or aluminum hydride.
213. The process of claim 207 wherein said cyclizing reagent comprises a Lewis acid.
214. The process of claim 207 wherein said cyclizing reagent comprises a $\text{C}_1\text{-C}_8$ alkyl aluminum halide.
215. The process of claim 207 wherein said cyclizing reagent comprises a $\text{C}_2\text{-C}_{16}$ dialkyl aluminum halide.
216. The process of claim 207 wherein said cyclizing reagent comprises AlCl_3 .
217. The process of claim 207 wherein said cyclizing reagent comprises an acid.
218. The process of claim 207 wherein said cyclizing reagent comprises sulfuric acid.
219. The process of claim 207 wherein said reacting of step b) is carried out in the absence of solvent.
220. The process of claim 207 wherein said reacting of step b) is carried out in the presence of solvent.
221. The process of claim 207 wherein said reacting of step b) is carried out in a non-polar solvent.
222. The process of claim 207 wherein said reacting of step b) is carried out in a solvent comprising decahydronaphthalene or 1,2-dichlorobenzene.
223. The process of claim 207 wherein:
 R^2 is $\text{C}_1\text{-C}_8$ alkyl, $-\text{CH}_2\text{-O-(C}_1\text{-C}_8\text{ alkyl)}$, $\text{C(O)O-(C}_1\text{-C}_8\text{ alkyl)}$, $-\text{C(O)NH-(C}_1\text{-C}_8\text{ alkyl)}$, OH , or CH_2OH ;
 R^3 and R^6 are each H ;
 R^4 and R^5 are each, independently, H , halo, $\text{C}_1\text{-C}_8$ haloalkyl, hydroxy, OR^9 , SR^9 , alkoxyalkyl, NHR^{10} , $\text{NR}^{10}\text{R}^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from $\text{C}_1\text{-C}_8$ alkyl, halo, $\text{C}_1\text{-C}_8$ haloalkyl, and alkoxy, and said heteroaryl can be optionally

substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;

(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and

(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

224. The process of claim 223 wherein R¹ is H.

225. The process of claim 223 wherein R¹ is C₁-C₈ alkyl.

226. The process of claim 223 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

227. The process of claim 223 wherein R² is methyl.

228. The process of claim 223 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

229. The process of claim 223 wherein R⁴ is Cl.

230. The process of claim 223 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

231. The process of claim 223 wherein R⁵ is H.

232. The process of claim 207 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and

R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;

provided that:

(H) when R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4$ alkyl), or CH_2OH , then R^3 and R^6 are not both H;
and

(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.

233. The process of claim 232 wherein R^1 is H.

234. The process of claim 232 wherein R^1 is C_1 - C_8 alkyl.

235. The process of claim 232 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.

236. The process of claim 232 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .

237. The process of claim 232 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.

238. The process of claim 207 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.

239. The process of claim 207 wherein R^3 and R^6 are each H.

240. The process of claim 207 wherein R^3 , R^5 , and R^6 are each H.

241. The process of claim 207 wherein R^4 is halo.

242. The process of claim 207 wherein R^4 is Cl.

243. The process of claim 207 wherein R^2 is C_1 - C_4 alkyl.

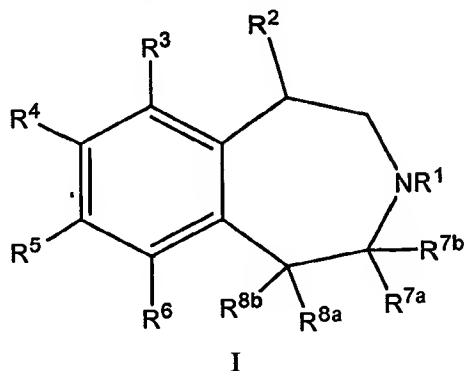
244. The process of claim 207 wherein R^2 is methyl.

245. The process of claim 207 wherein R^1 is H.

246. The process of claim 207 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

247. The process of claim 207 wherein R¹ is H, R² is Me, R³ is H, R⁴ is Cl, R⁵ is H, R⁶ is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

248. A process for preparing a compound of Formula I:



or salt form thereof,

wherein:

R¹ is H or C₁-C₈ alkyl;

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, hydroxy, mercapto, OR⁹, SR⁹, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR¹⁰R¹¹, CN, NO₂, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} and R^{7b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

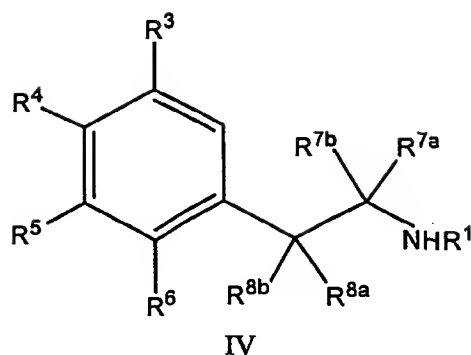
R^{8a} and R^{8b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R⁹ is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

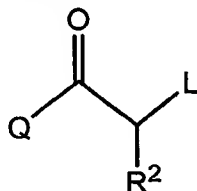
R¹⁰ and R¹¹ are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R¹⁰ and R¹¹ together with the N atom to which they are attached form a heterocyclic ring;

comprising:

(a) reacting a compound of Formula IV:



or salt form thereof, with a compound of Formula:



wherein:

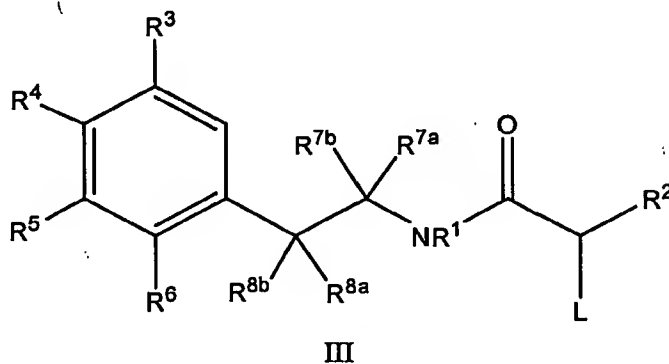
L is halo, hydroxy, C₁-C₈ alkoxy, C₁-C₈ thioalkoxy, C₁-C₈ acyloxy, -OSO₂R, or -OSi(R')₃;

R is C₁-C₈ alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, or C₁-C₄ haloalkoxy;

R' is C₁-C₈ alkyl; and

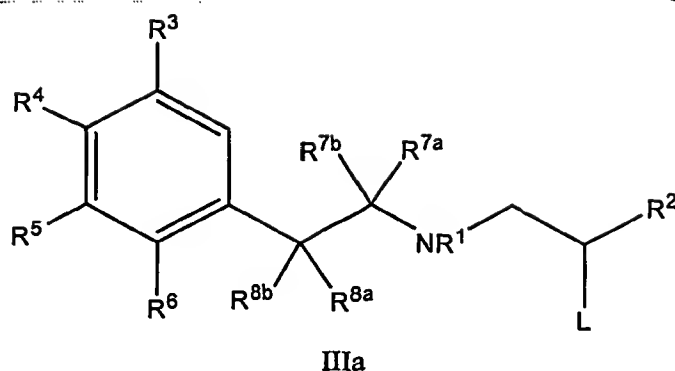
Q is a leaving group, for a time and under conditions suitable for forming a compound of Formula

III:



or salt form thereof;

(b) reacting said compound of Formula III with a reducing agent optionally in the presence of a Lewis acid for a time and under conditions suitable for forming a compound of Formula IIIa:



and

(c) reacting said compound of Formula IIIa with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula I.

249. The process of claim 248 wherein Q is Cl.
250. The process of claim 248 wherein then reacting of step (a) is carried out in the presence of base.
251. The process of claim 248 wherein said reducing agent comprises a borane.
252. The process of claim 248 wherein said reducing agent comprises BH_3 .
253. The process of claim 248 wherein said reducing agent comprises a metal hydride.
254. The process of claim 248 wherein said reducing agent comprises a borohydride or aluminum hydride.
255. The process of claim 248 wherein said cyclizing reagent comprises a Lewis acid.
256. The process of claim 248 wherein said cyclizing reagent comprises a $\text{C}_1\text{-C}_8$ alkyl aluminum halide.
257. The process of claim 248 wherein said cyclizing reagent comprises a $\text{C}_2\text{-C}_{16}$ dialkyl aluminum halide.
258. The process of claim 248a wherein said cyclizing reagent comprises AlCl_3 .
259. The process of claim 248 wherein said cyclizing reagent comprises an acid.
260. The process of claim 248 wherein said cyclizing reagent comprises sulfuric acid.

261. The process of claim 248 wherein said reacting of step c) is carried out in the absence of solvent.
262. The process of claim 248 wherein said reacting of step c) is carried out in the presence of solvent.
263. The process of claim 248 wherein said reacting of step c) is carried out in a non-polar solvent.
264. The process of claim 248 wherein said reacting of step c) is carried out in a solvent comprising decahydronaphthalene or 1,2-dichlorobenzene.
265. The process of claim 248 wherein:
R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, or CH₂OH;
R³ and R⁶ are each H;
R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, SR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;
R^{7a} is H;
R^{7b} is H or C₁-C₈ alkyl;
R^{8a} and R^{8b} are each H; and
R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;
provided that:
(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;
(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;
(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and
(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.
266. The process of claim 265 wherein R¹ is H.
267. The process of claim 265 wherein R¹ is C₁-C₈ alkyl.
268. The process of claim 265 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

269. The process of claim 265 wherein R² is methyl.

270. The process of claim 265 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

271. The process of claim 265 wherein R⁴ is Cl.

272. The process of claim 265 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

273. The process of claim 265 wherein R⁵ is H.

274. The process of claim 248 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

provided that:

(H) when R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or CH₂OH, then R³ and R⁶ are not both H;
and

(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

275. The process of claim 274 wherein R¹ is H.

276. The process of claim 274 wherein R¹ is C₁-C₈ alkyl.

277. The process of claim 274 wherein R² is C₁-C₄ alkyl or C₁-C₄ haloalkyl.

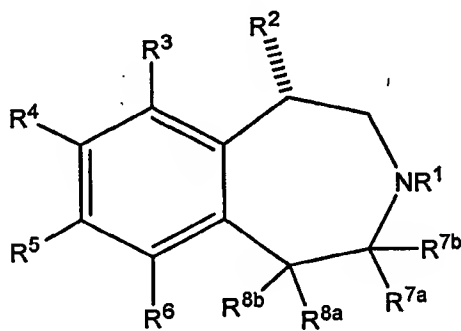
278. The process of claim 274 wherein R² is methyl, ethyl, isopropyl, n-butyl, or CF₃.

279. The process of claim 274 wherein R³, R⁴, R⁵, and R⁶ are each, independently, H, methyl, NH₂, CN, halo, CF₃, NO₂, or OH.

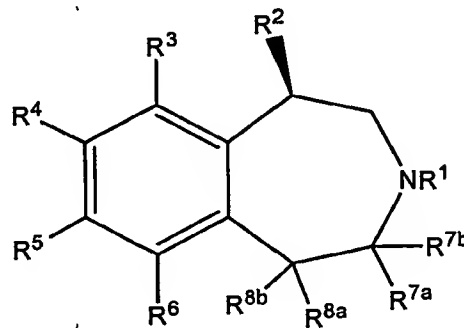
280. The process of claim 248 wherein R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H.

281. The process of claim 248 wherein R³ and R⁶ are each H.

282. The process of claim 248 wherein R^3 , R^5 , and R^6 are each H.
283. The process of claim 248 wherein R^4 is halo.
284. The process of claim 248 wherein R^4 is Cl.
285. The process of claim 248 wherein R^2 is C_1 - C_4 alkyl.
286. The process of claim 248 wherein R^2 is methyl.
287. The process of claim 248 wherein R^1 is H.
288. The process of claim 248 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
289. The process of claim 248 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
290. A method of resolving a mixture of compounds of Formula Ia and Ib:



Ia



Ib

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8

haloalkyl, and alkoxy; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} and R^{7b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R⁹ is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

R¹⁰ and R¹¹ are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R¹⁰ and R¹¹ together with the N atom to which they are attached form a heterocyclic ring; comprising:

contacting said mixture of compounds with a chiral resolving acid to form chiral resolving acid salts of said compounds, wherein said chiral resolving acid comprises substantially one stereoisomer; and

precipitating said chiral resolving acid salts of said compounds, wherein the resulting precipitate is enriched in the chiral resolving acid salt of one of said compounds of Formula Ia or Ib.

291. The method of claim 290 wherein said chiral resolving acid is tartaric acid.

292. The method of claim 290 wherein said tartaric acid is L-(+)-tartaric acid.

293. The method of claim 290 wherein said precipitate is enriched in the tartaric acid salt form of said compound of Formula Ia or said compound of Formula Ib.

294. The method of claim 290 wherein:

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, or CH₂OH;

R³ and R⁶ are each H;

R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, SR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C_1-C_8 alkyl;

R^{8a} and R^{8b} are each H; and

R^{10} and R^{11} are each, independently, C_1-C_8 alkyl, C_1-C_8 alkenyl, C_1-C_8 haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

- (A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative;
- (B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;
- (C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $NR^{10}R^{11}$; and
- (D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

295. The method of claim 294 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.

296. The method of claim 294 wherein R^2 is methyl.

297. The method of claim 294 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

298. The method of claim 294 wherein R^4 is Cl.

299. The method of claim 294 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1-C_8 alkyl, C_1-C_8 haloalkyl, halo, and alkoxy.

300. The method of claim 294 wherein R^5 is H.

301. The method of claim 290 wherein:

R^2 is C_1-C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, C_1-C_4 haloalkyl, or CH_2OH ;

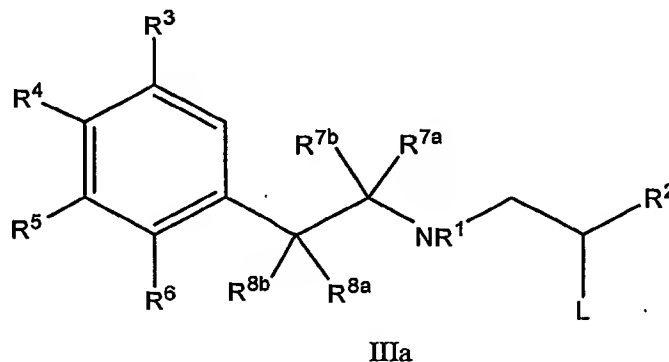
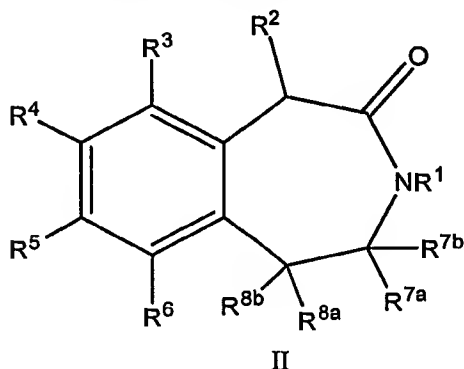
R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1-C_4 alkyl, C_1-C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and

R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;

provided that:

- (H) when R^2 is C_1-C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or CH_2OH , then R^3 and R^6 are not both H; and
- (I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.

302. The method of claim 290 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
303. The method of claim 290 wherein R^3 and R^6 are each H.
304. The method of claim 290 wherein R^3 , R^5 , and R^6 are each H.
305. The method of claim 290 wherein R^4 is halo.
306. The method of claim 290 wherein R^4 is Cl.
307. The method of claim 290 wherein R^2 is C_1 - C_4 alkyl.
308. The method of claim 290 wherein R^2 is methyl.
309. The method of claim 290 wherein R^1 is H.
310. The method of claim 290 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
311. The method of claim 290 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
312. The method of claim 290 wherein said contacting is carried out in a solvent comprising t-butanol.
313. The method of claim 290 wherein said contacting is carried out in a solvent comprising acetone.
314. A compound of Formula II or IIIa:



or salt form thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} and R^{7b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; and

L is halo, hydroxy, C_1 - C_8 alkoxy, C_1 - C_8 thioalkoxy, C_1 - C_8 acyloxy, $-OSO_2R$, or $-OSi(R')_3$;

R is C_1 - C_8 alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkoxy; and

R' is C_1 - C_8 alkyl.

315. The compound of claim 314 wherein:

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, or CH_2OH ;

R^3 and R^6 are each H;

R^4 and R^5 are each, independently, H, halo, C_1 - C_8 haloalkyl, hydroxy, OR^9 , SR^9 , alkoxyalkyl, NHR^{10} , $NR^{10}R^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C_1 - C_8 alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C_1 - C_8 alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;

(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and

(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

316. The compound of claim 315 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

317. The compound of claim 315 wherein R² is methyl.

318. The compound of claim 315 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

319. The compound of claim 315 wherein R⁴ is Cl.

320. The compound of claim 315 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

321. The compound of claim 315 wherein R⁵ is H.

322. The compound of claim 314 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

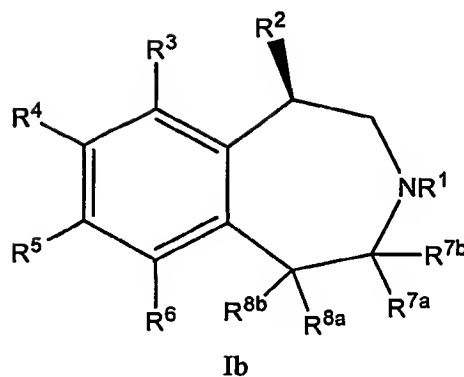
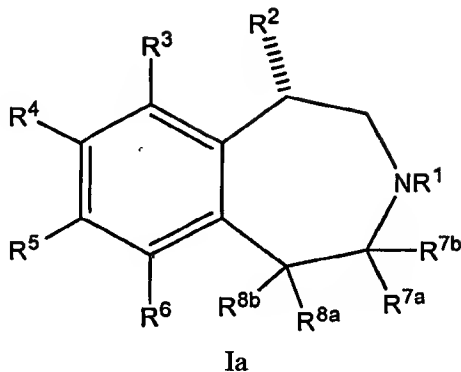
provided that:

(H) when R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or CH₂OH, then R³ and R⁶ are not both H; and

(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

323. The compound of claim 322 wherein R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H.

324. The compound of claim 322 wherein R^3 and R^6 are each H.
325. The compound of claim 322 wherein R^3 , R^5 , and R^6 are each H.
326. The compound of claim 322 wherein R^4 is halo.
327. The compound of claim 322 wherein R^4 is Cl.
328. The compound of claim 322 wherein R^2 is C_1 - C_4 alkyl.
329. The compound of claim 322 wherein R^2 is methyl.
330. The compound of claim 322 wherein R^1 is H.
331. The compound of claim 314 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
332. The compound of claim 314 wherein R^3 and R^6 are each H.
333. The compound of claim 314 wherein R^3 , R^5 , and R^6 are each H.
334. The compound of claim 314 wherein R^4 is halo.
335. The compound of claim 314 wherein R^4 is Cl.
336. The compound of claim 314 wherein R^2 is C_1 - C_4 alkyl.
337. The compound of claim 314 wherein R^2 is methyl.
338. The compound of claim 314 wherein R^1 is H.
339. The compound of claim 314 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
340. The compound of claim 314 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
341. A chiral resolving acid salt of a compound of Formula Ia or Ib:



wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, mercapto, OR^9 , SR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} and R^{7b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{7a} and R^{7b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring.

342. The salt of claim 341 wherein said salt is a tartaric acid salt.

343. The salt of claim 342 wherein said tartaric acid is L-(+)-tartaric acid.

344. The salt of claim 342 wherein said salt is a tartaric acid salt of a compound of Formula Ia or a compound of Formula Ib.

345. The salt of claim 341 wherein:

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, or CH_2OH ;

R^3 and R^6 are each H;

R^4 and R^5 are each, independently, H, halo, C_1 - C_8 haloalkyl, hydroxy, OR^9 , SR^9 , alkoxyalkyl, NHR^{10} , $NR^{10}R^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C_1 - C_8 alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C_1 - C_8 alkyl;

R^{8a} and R^{8b} are each H; and

R^{10} and R^{11} are each, independently, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;

(C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $NR^{10}R^{11}$; and

(D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

345. The salt of claim 341 wherein:

R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and

R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;

provided that:

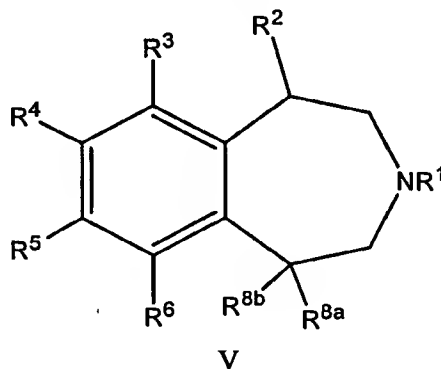
(H) when R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or CH_2OH , then R^3 and R^6 are not both H; and

(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.

346. The salt of claim 341 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.

347. The salt of claim 341 wherein R^3 and R^6 are each H.

348. The salt of claim 341 wherein R^3 , R^5 , and R^6 are each H.
349. The salt of claim 341 wherein R^4 is halo.
350. The salt of claim 341 wherein R^4 is Cl.
351. The salt of claim 341 wherein R^2 is C_1 - C_4 alkyl.
352. The salt of claim 341 wherein R^2 is methyl.
353. The salt of claim 341 wherein R^1 is H.
354. The salt of claim 341 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
355. The salt of claim 341 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
356. A composition comprising at least one salt of claim 341.
357. The composition of claim 356 wherein said composition comprises said salt form of a compound of Formula Ia and said salt form of a compound of Formula Ib, wherein said composition is enriched in one of either said salt form of a compound of Formula Ia or said salt form of a compound of Formula Ib.
358. A process for preparing a compound of Formula V:



or salt thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

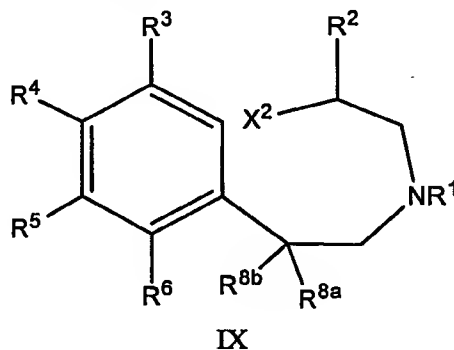
R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN , NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; comprising reacting a compound of Formula IX:



or salt thereof, wherein X^2 is halo or SO_2R'' and R'' is C_1 - C_8 alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkoxy, with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.

359. The process of claim 358 wherein said cyclizing reagent is a Lewis acid.

360. The process of claim 358 wherein said cyclizing reagent is $AlCl_3$.

361. The process of claim 358 wherein said reacting is carried out in the presence of a non-polar solvent.

362. The process of claim 358 wherein said reacting is carried out in the presence of 1,2-dichlorobenzene.

363. The process of claim 358 wherein said reacting is carried out at an elevated temperature.

364. The process of claim 358 wherein said reacting is carried out at a temperature between about 100 and about 150 °C.

365. The process of claim 358 wherein X^2 is Br.

366. The process of claim 358 wherein:

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$;

R^3 and R^6 are each H;

R^4 and R^5 are each, independently, H, halo, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, NHR^{10} , $NR^{10}R^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C_1 - C_8 alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C_1 - C_8 alkyl;

R^{8a} and R^{8b} are each H; and

R^{10} and R^{11} are each, independently, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;

(C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $NR^{10}R^{11}$; and

(D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

367. The process of claim 366 wherein R^1 is H.

368. The process of claim 366 wherein R^1 is C_1 - C_8 alkyl.

369. The process of claim 366 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.

370. The process of claim 366 wherein R^2 is methyl.

371. The process of claim 366 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

369. The process of claim 366 wherein R^4 is Cl.

373. The process of claim 366 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halo, and alkoxy.

374. The process of claim 366 wherein R^5 is H.

375. The process of claim 355 wherein:

R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and

R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;

provided that:

(H) when R^2 is C_1 - C_4 alkyl or $-CH_2-O-(C_1-C_4 \text{ alkyl})$, then R^3 and R^6 are not both H; and

(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.

376. The process of claim 375 wherein R^1 is H.

377. The process of claim 375 wherein R^1 is C_1 - C_8 alkyl.

378. The process of claim 375 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.

379. The process of claim 375 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .

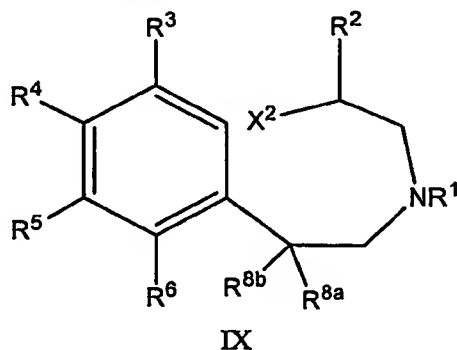
380. The process of claim 375 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.

381. The process of claim 358 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.

382. The process of claim 358 wherein R^3 and R^6 are each H.

383. The process of claim 358 wherein R^3 , R^5 , and R^6 are each H.

384. The process of claim 358 wherein R^4 is halo.
385. The process of claim 358 wherein R^4 is Cl.
386. The process of claim 358 wherein R^2 is C_1 - C_4 alkyl.
387. The process of claim 358 wherein R^2 is methyl.
388. The process of claim 358 wherein R^1 is H.
389. The process of claim 358 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
390. The process of claim 358 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
391. A process for preparing a compound of Formula IX:



or salt thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

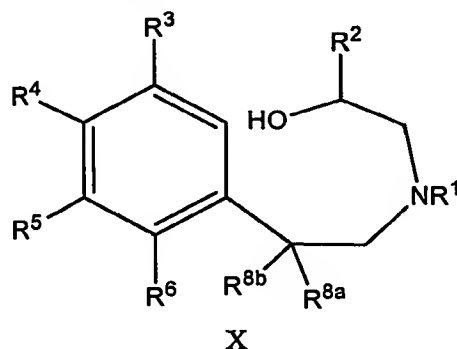
R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; and

X^2 is halo or SO_2R'' ; and

R'' is C_1 - C_8 alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkoxy; comprising reacting a compound of Formula X:



or salt thereof, with a halogenating/sulfonating reagent for a time and under conditions suitable for forming said compound of Formula XI.

392. The process of claim 391 wherein said halogenating/sulfonating reagent is $SOBr_2$ or $SOCl_2$.

393. The process of claim 391 wherein X^2 is Br.

394. The process of claim 391 wherein said reacting is carried out in the presence of solvent.

395. The process of claim 394 wherein said solvent comprises dimethylformamide or toluene.

396. The process of claim 394 wherein said solvent comprises dimethylformamide and toluene.

397. The process of claim 391 wherein said reacting is carried out at elevated temperature.

398. The process of claim 391 wherein said elevated temperature is from about -40 to about $80^\circ C$.

399. The process of claim 391 wherein said compound of Formula XI is isolated.

400. The process of claim 391 wherein said compound of Formula XI is isolated by recrystallization from a solvent comprising water and alcohol.

401. The process of claim 391 wherein:

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, or $-C(O)NH-(C_1-C_8 \text{ alkyl})$;

R^3 and R^6 are each H;

R^4 and R^5 are each, independently, H, halo, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, NHR^{10} , $NR^{10}R^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C_1 - C_8 alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C_1 - C_8 alkyl;

R^{8a} and R^{8b} are each H; and

R^{10} and R^{11} are each, independently, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;

(C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $NR^{10}R^{11}$; and

(D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

402. The process of claim 401 wherein R^1 is H.

403. The process of claim 401 wherein R^1 is C_1 - C_8 alkyl.

404. The process of claim 402 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.

405. The process of claim 403 wherein R^2 is methyl.

406. The process of claim 404 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

407. The process of claim 401 wherein R^4 is Cl.

408. The process of claim 401 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.
409. The process of claim 401 wherein R⁵ is H.
410. The process of claim 391 wherein:
R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or C₁-C₄ haloalkyl;
R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and
R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;
provided that:
(H) when R² is C₁-C₄ alkyl or -CH₂-O-(C₁-C₄ alkyl), then R³ and R⁶ are not both H; and
(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.
411. The process of claim 410 wherein R¹ is H.
412. The process of claim 410 wherein R¹ is C₁-C₈ alkyl.
413. The process of claim 410 wherein R² is C₁-C₄ alkyl or C₁-C₄ haloalkyl.
414. The process of claim 410 wherein R² is methyl, ethyl, isopropyl, n-butyl, or CF₃.
415. The process of claim 410 wherein R³, R⁴, R⁵, and R⁶ are each, independently, H, methyl, NH₂, CN, halo, CF₃, NO₂, or OH.
416. The process of claim 391 wherein R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H.
417. The process of claim 391 wherein R³ and R⁶ are each H.
418. The process of claim 391 wherein R³, R⁵, and R⁶ are each H.
419. The process of claim 391 wherein R⁴ is halo.
420. The process of claim 391 wherein R⁴ is Cl.

421. The process of claim 391 wherein R^2 is C_1 - C_4 alkyl.

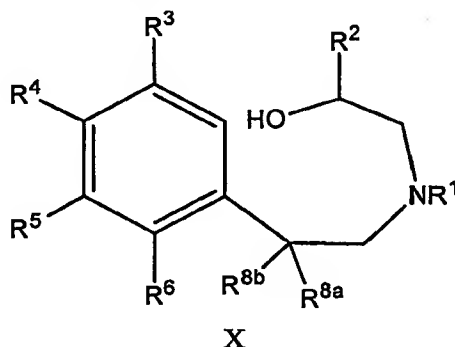
422. The process of claim 391 wherein R^2 is methyl.

423. The process of claim 391 wherein R^1 is H.

424. The process of claim 391 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

425. The process of claim 391 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

426. A process for preparing a compound of Formula X:



or salt thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

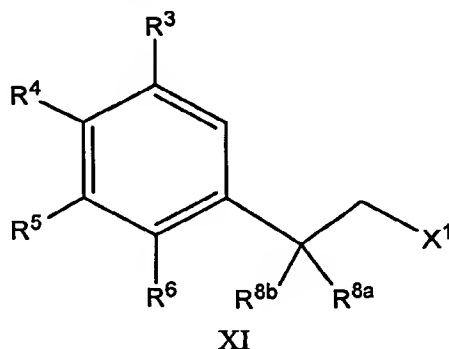
R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN , NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

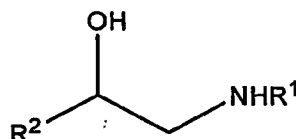
R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R^{10} and R^{11} are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; comprising reacting a compound of Formula XI:



wherein X^1 is a leaving group,
with a compound of Formula:



for a time and under conditions suitable for forming said compound of Formula X.

427. The process of claim 426 wherein said reacting is carried out at elevated temperature.
428. The process of claim 427 wherein said temperature is from about 80 to about 110 °C.
429. The process of claim 427 wherein said reacting is carried out in the absence of solvent.
430. The process of claim 426 wherein:
 R^2 is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), or -C(O)NH-(C₁-C₈ alkyl);
 R^3 and R^6 are each H;
 R^4 and R^5 are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;
 R^{7a} is H;
 R^{7b} is H or C₁-C₈ alkyl;
 R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

- (A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;
- (B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;
- (C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and
- (D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

431. The process of claim 430 wherein R¹ is H.

432. The process of claim 430 wherein R¹ is C₁-C₈ alkyl.

433. The process of claim 430 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

434. The process of claim 430 wherein R² is methyl.

435. The process of claim 430 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

436. The process of claim 430 wherein R⁴ is Cl.

437. The process of claim 430 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

438. The process of claim 430 wherein R⁵ is H.

439. The process of claim 426 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or C₁-C₄ haloalkyl;

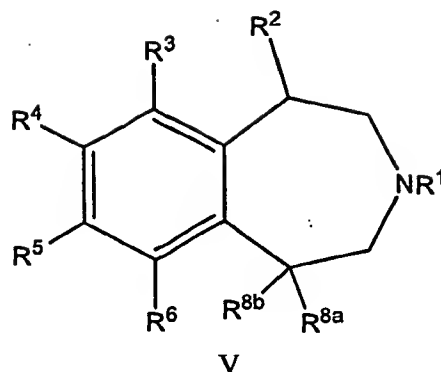
R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

provided that:

- (H) when R² is C₁-C₄ alkyl or -CH₂-O-(C₁-C₄ alkyl), then R³ and R⁶ are not both H; and
- (I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

440. The process of claim 439 wherein R^1 is H.
441. The process of claim 439 wherein R^1 is C_1 - C_8 alkyl.
442. The process of claim 439 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.
443. The process of claim 439 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .
444. The process of claim 439 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.
445. The process of claim 426 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
446. The process of claim 426 wherein R^3 and R^6 are each H.
447. The process of claim 426 wherein R^3 , R^5 , and R^6 are each H.
448. The process of claim 426 wherein R^4 is halo.
449. The process of claim 426 wherein R^4 is Cl.
450. The process of claim 426 wherein R^2 is C_1 - C_4 alkyl.
451. The process of claim 426 wherein R^2 is methyl.
452. The process of claim 426 wherein R^1 is H.
453. The process of claim 426 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
454. The process of claim 426 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
455. A process for preparing a compound of Formula V:



or salt thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

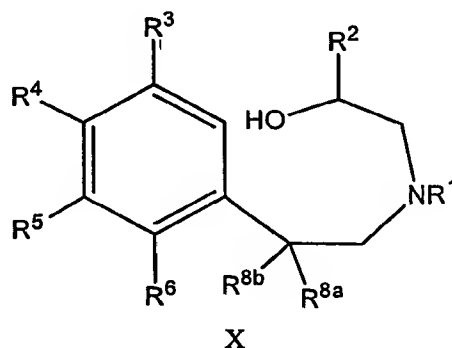
R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

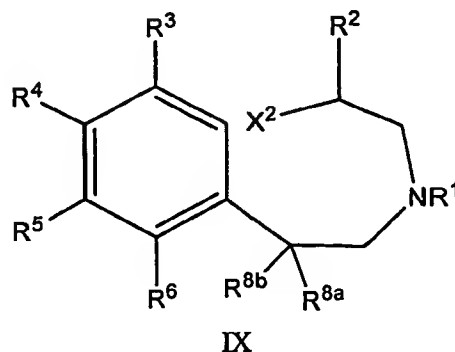
R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; comprising:

a) reacting a compound of Formula X:



or salt thereof;

with a halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula IX:



or salt thereof;

wherein X^2 is halo or SO_2R'' and R'' is C_1 - C_8 alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkoxy; and

b) reacting said compound of Formula IX with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.

456. The process of claim 455 wherein said cyclizing reagent is a Lewis acid.

457. The process of claim 455 wherein said cyclizing reagent is $AlCl_3$.

458. The process of claim 455 wherein said reacting of step (b) is carried out in the presence of a non-polar solvent.

459. The process of claim 455 wherein said reacting of step (b) is carried out in the presence of 1,2-dichlorobenzene.

460. The process of claim 455 wherein said reacting of step (b) is carried out at an elevated temperature.

461. The process of claim 455 wherein said halogenating/sulfonating reagent is $SOBr_2$ or $SOCl_2$.

462. The process of claim 455 wherein X^2 is Br.

463. The process of claim 455 wherein said reacting of step (a) is carried out in the presence of solvent.

464. The process of claim 463 wherein said solvent comprises dimethylformamide or toluene.

465. The process of claim 463 wherein said solvent comprises dimethylformamide and toluene.
466. The process of claim 455 wherein said compound of Formula XI is isolated.
467. The process of claim 466 wherein said compound of Formula XI is isolated by recrystallization from a solvent comprising water and alcohol.
468. The process of claim 455 wherein:
R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), or -C(O)NH-(C₁-C₈ alkyl);
R³ and R⁶ are each H;
R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;
R^{7a} is H;
R^{7b} is H or C₁-C₈ alkyl;
R^{8a} and R^{8b} are each H; and
R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;
provided that:
(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;
(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;
(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and
(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.
469. The process of claim 468 wherein R¹ is H.
470. The process of claim 468 wherein R¹ is C₁-C₈ alkyl.
471. The process of claim 468 wherein R² is methyl, ethyl, n-propyl, or isopropyl.
472. The process of claim 468 wherein R² is methyl.

473. The process of claim 468 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

474. The process of claim 468 wherein R⁴ is Cl.

475. The process of claim 468 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

476. The process of claim 468 wherein R⁵ is H.

477. The process of claim 455 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or C₁-C₄ haloalkyl;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

provided that:

(H) when R² is C₁-C₄ alkyl or -CH₂-O-(C₁-C₄ alkyl), then R³ and R⁶ are not both H; and

(I) when R² is CH₃; then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

478. The process of claim 477 wherein R¹ is H.

479. The process of claim 477 wherein R¹ is C₁-C₈ alkyl.

480. The process of claim 477 wherein R² is C₁-C₄ alkyl or C₁-C₄ haloalkyl.

481. The process of claim 477 wherein R² is methyl, ethyl, isopropyl, n-butyl, or CF₃.

482. The process of claim 477 wherein R³, R⁴, R⁵, and R⁶ are each, independently, H, methyl, NH₂, CN, halo, CF₃, NO₂, or OH.

483. The process of claim 455 wherein R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H.

484. The process of claim 455 wherein R³ and R⁶ are each H.

485. The process of claim 455 wherein R³, R⁵, and R⁶ are each H.

486. The process of claim 455 wherein R^4 is halo.

487. The process of claim 455 wherein R^4 is Cl.

488. The process of claim 455 wherein R^2 is C_1 - C_4 alkyl.

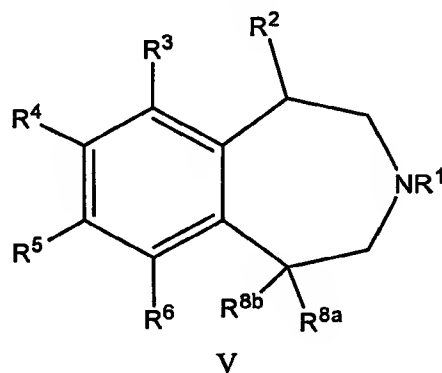
489. The process of claim 455 wherein R^2 is methyl.

490. The process of claim 455 wherein R^1 is H.

491. The process of claim 455 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

492. The process of claim 455 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

493. A process for preparing a compound of Formula V:



or salt thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

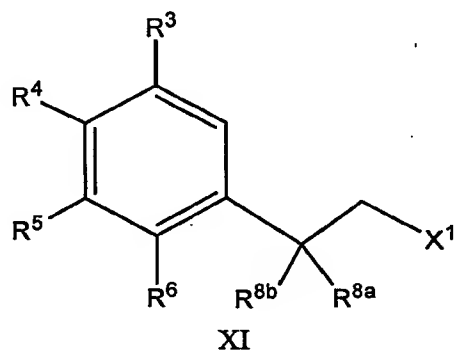
R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or

hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

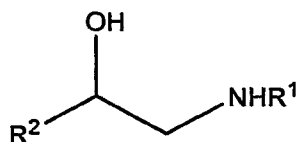
R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring; comprising:

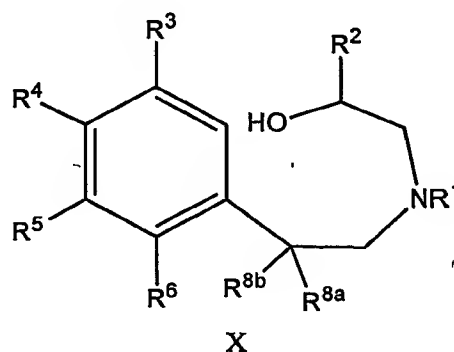
a) reacting a compound of Formula XI:



wherein X^1 is a leaving group,
with a compound of Formula:

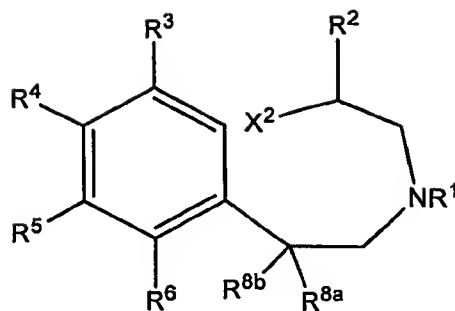


or salt thereof, for a time and under conditions suitable for forming a compound of Formula X:



or salt thereof;

b) reacting said compound of Formula X with a halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula IX:



IX

or salt thereof;

wherein X² is halo or SO₂R'' and R'' is C₁-C₈ alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, or C₁-C₄ haloalkoxy; and

c) reacting said compound of Formula IX with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.

494. The process of claim 493 wherein said cyclizing reagent is a Lewis acid.

495. The process of claim 493 wherein said cyclizing reagent is AlCl₃.

496. The process of claim 493 wherein said halogenating/sulfonating reagent is SOBr₂ or SOCl₂.

497. The process of claim 493 wherein X² is Br.

498. The process of claim 493 wherein:

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), or -C(O)NH-(C₁-C₈ alkyl);

R³ and R⁶ are each H;

R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

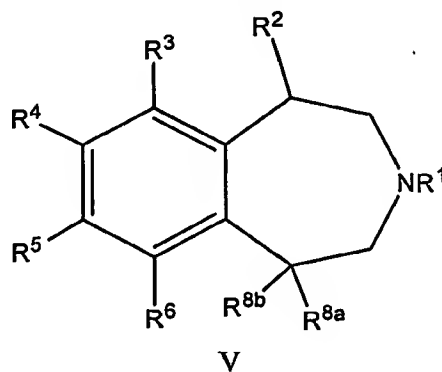
provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

- (B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;
- (C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $NR^{10}R^{11}$; and
- (D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

499. The process of claim 498 wherein R^1 is H.
500. The process of claim 498 wherein R^1 is C_1 - C_8 alkyl.
501. The process of claim 498 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.
502. The process of claim 498 wherein R^2 is methyl.
503. The process of claim 498 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.
504. The process of claim 498 wherein R^4 is Cl.
505. The process of claim 498 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halo, and alkoxy.
506. The process of claim 498 wherein R^5 is H.
507. The process of claim 493 wherein:
 R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or C_1 - C_4 haloalkyl;
 R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and
 R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;
provided that:
(H) when R^2 is C_1 - C_4 alkyl or $-CH_2-O-(C_1-C_4 \text{ alkyl})$, then R^3 and R^6 are not both H; and
(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.
508. The process of claim 507 wherein R^1 is H.
509. The process of claim 507 wherein R^1 is C_1 - C_8 alkyl.

510. The process of claim 507 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.
511. The process of claim 507 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .
512. The process of claim 507 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.
513. The process of claim 493 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
514. The process of claim 493 wherein R^3 and R^6 are each H.
515. The process of claim 493 wherein R^3 , R^5 , and R^6 are each H.
516. The process of claim 498 wherein R^4 is halo.
517. The process of claim 493 wherein R^4 is Cl.
518. The process of claim 493 wherein R^2 is C_1 - C_4 alkyl.
519. The process of claim 493 wherein R^2 is methyl.
520. The process of claim 493 wherein R^1 is H.
521. The process of claim 493 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
522. The process of claim 493 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
523. A process for preparing a compound of Formula V:



or salt thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

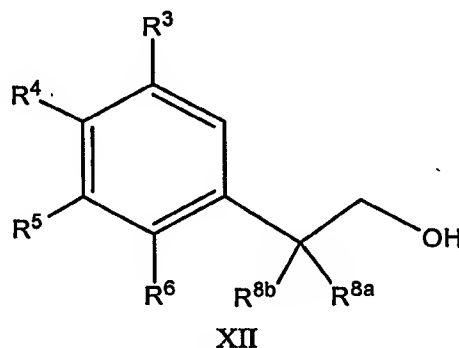
R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R^9 is H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

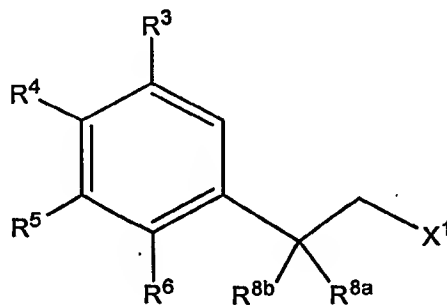
R^{10} and R^{11} are each, independently, H, C_1 - C_8 alkyl, C_1 - C_8 alkenyl, C_1 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R^{10} and R^{11} together with the N atom to which they are attached form a heterocyclic ring;

comprising:

- a) reacting a compound of Formula XII:



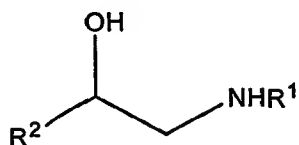
with a halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula XI:



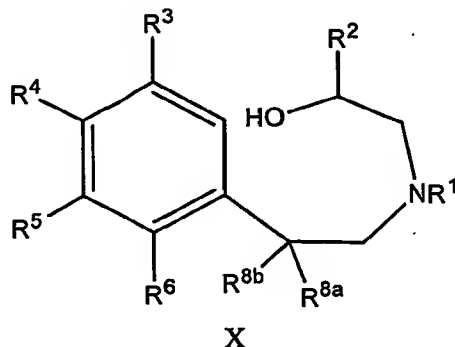
XI

wherein X^1 is a leaving group;

- b) reacting said compound of Formula XI with a compound of Formula:

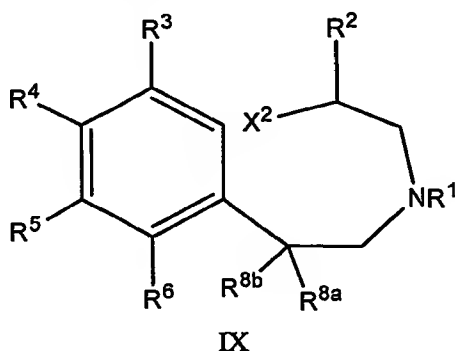


or salt thereof, for a time and under conditions suitable for forming a compound of Formula X:



or salt thereof;

- c) reacting said compound of Formula X with a further halogenating/sulfonating reagent for a time and under conditions suitable for forming a compound of Formula IX:



or salt thereof;

wherein X^2 is halo or SO_2R'' and R'' is C_1 - C_8 alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, or C_1 - C_4 haloalkoxy; and

- d) reacting said compound of Formula IX with a cyclizing reagent for a time and under conditions suitable for forming said compound of Formula V.

524. The process of claim 523 wherein said cyclizing reagent is a Lewis acid.

525. The process of claim 524 wherein said cyclizing reagent is $AlCl_3$.

526. The process of claim 523 wherein said halogenating/sulfonating reagent is PBr_3 or PCl_3 .

527. The process of claim 523 wherein said further halogenating/sulfonating reagent is SOBr_2 or SOCl_2 .

528. The process of claim 523 wherein X^2 is Br.

529. The process of claim 523 wherein X^1 is Br.

530. The process of claim 523 wherein:

R^2 is $\text{C}_1\text{-C}_8$ alkyl, $-\text{CH}_2\text{-O-(C}_1\text{-C}_8\text{ alkyl)}$, $\text{C(O)O-(C}_1\text{-C}_8\text{ alkyl)}$, or $-\text{C(O)NH-(C}_1\text{-C}_8\text{ alkyl)}$;

R^3 and R^6 are each H;

R^4 and R^5 are each, independently, H, halo, $\text{C}_1\text{-C}_8$ haloalkyl, hydroxy, OR^9 , alkoxyalkyl, NHR^{10} , $\text{NR}^{10}\text{R}^{11}$, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from $\text{C}_1\text{-C}_8$ alkyl, halo, $\text{C}_1\text{-C}_8$ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and $\text{C}_1\text{-C}_8$ alkyl; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or $\text{C}_1\text{-C}_8$ alkyl;

R^{8a} and R^{8b} are each H; and

R^{10} and R^{11} are each, independently, $\text{C}_1\text{-C}_8$ alkyl, $\text{C}_1\text{-C}_8$ alkenyl, $\text{C}_1\text{-C}_8$ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R^2 is methyl and R^4 is H, then R^5 is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R^4 nor R^5 can be H;

(C) if R^1 and R^2 are methyl, and R^5 is H then R^4 is not NHR^{10} or $\text{NR}^{10}\text{R}^{11}$; and

(D) if R^1 and R^2 are methyl and R^5 is H, then R^4 is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

531. The process of claim 530 wherein R^1 is H.

532. The process of claim 530 wherein R^1 is $\text{C}_1\text{-C}_8$ alkyl.

533. The process of claim 530 wherein R^2 is methyl, ethyl, n-propyl, or isopropyl.

534. The process of claim 530 wherein R^2 is methyl.

535. The process of claim 530 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

536. The process of claim 530 wherein R^4 is Cl.
537. The process of claim 530 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halo, and alkoxy.
538. The process of claim 530 wherein R^5 is H.
539. The process of claim 523 wherein:
 R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or C_1 - C_4 haloalkyl;
 R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and
 R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;
provided that:
(H) when R^2 is C_1 - C_4 alkyl or $-CH_2-O-(C_1-C_4 \text{ alkyl})$, then R^3 and R^6 are not both H; and
(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.
540. The process of claim 539 wherein R^1 is H.
541. The process of claim 539 wherein R^1 is C_1 - C_8 alkyl.
542. The process of claim 539 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.
543. The process of claim 539 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .
544. The process of claim 539 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.
545. The process of claim 523 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
546. The process of claim 523 wherein R^3 and R^6 are each H.
547. The process of claim 523 wherein R^3 , R^5 , and R^6 are each H.
548. The process of claim 523 wherein R^4 is halo.

549. The process of claim 523 wherein R^4 is Cl.

560. The process of claim 523 wherein R^2 is C_1 - C_4 alkyl.

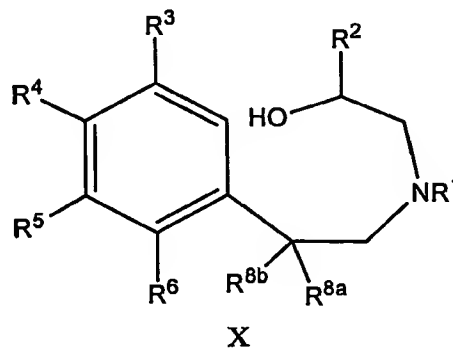
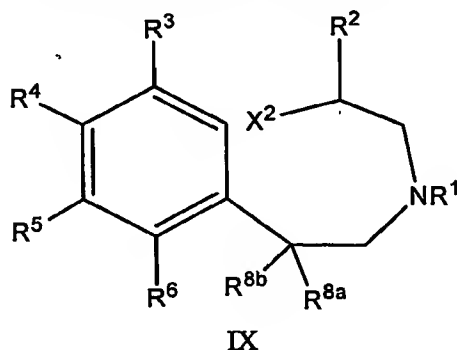
561. The process of claim 523 wherein R^2 is methyl.

562. The process of claim 523 wherein R^1 is H.

563. The process of claim 523 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

564. The process of claim 523 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

565. A compound of Formula IX or X:



or salt form thereof,

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and alkoxy; or R^4 and R^5 together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{8a} and R^{8b} are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, alkoxyalkyl, hydroxy, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C_3 - C_7 cycloalkyl group;

R⁹ is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl;

R¹⁰ and R¹¹ are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R¹⁰ and R¹¹ together with the N atom to which they are attached form a heterocyclic ring;

X² is halo or SO₂Rⁿ; and

Rⁿ is C₁-C₈ alkyl, aryl, or heteroaryl each optionally substituted by one or more halo, cyano, nitro, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, or C₁-C₄ haloalkoxy.

566. The compound of claim 565 wherein X² is Br.

567. The compound of claim 565 wherein:

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), or -C(O)NH-(C₁-C₈ alkyl);

R³ and R⁶ are each H;

R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;

(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and

(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

568. The compound of claim 567 wherein R¹ is H.

569. The compound of claim 567 wherein R¹ is C₁-C₈ alkyl.

570. The compound of claim 567 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

571. The compound of claim 567 wherein R^2 is methyl.

572. The compound of claim 567 wherein R^4 is Cl, Br, haloalkyl, CF_3 , thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

573. The compound of claim 567 wherein R^4 is Cl.

574. The compound of claim 567 wherein R^5 is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C_1 - C_8 alkyl, C_1 - C_8 haloalkyl, halo, and alkoxy.

575. The compound of claim 567 wherein R^5 is H.

576. The compound of claim 567 wherein:

R^2 is C_1 - C_4 alkyl, $-CH_2-O-(C_1-C_4 \text{ alkyl})$, or C_1 - C_4 haloalkyl;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, hydroxy, NH_2 , CN, or NO_2 ; and

R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H;

provided that:

(H) when R^2 is C_1 - C_4 alkyl or $-CH_2-O-(C_1-C_4 \text{ alkyl})$, then R^3 and R^6 are not both H; and

(I) when R^2 is CH_3 , then R^3 , R^4 , and R^6 are each H and R^5 is not H or isopropyl.

577. The compound of claim 576 wherein R^1 is H.

578. The compound of claim 576 wherein R^1 is C_1 - C_8 alkyl.

579. The compound of claim 576 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.

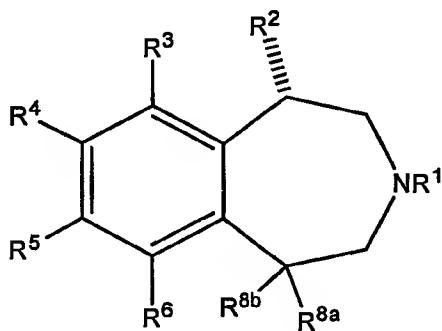
580. The compound of claim 576 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .

581. The compound of claim 576 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.

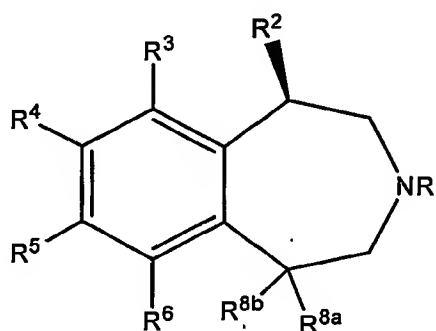
582. The compound of claim 567 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.

583. The compound of claim 567 wherein R^3 and R^6 are each H.

584. The compound of claim 567 wherein R^3 , R^5 , and R^6 are each H.
585. The compound of claim 567 wherein R^4 is halo.
586. The compound of claim 567 wherein R^4 is Cl.
587. The compound of claim 567 wherein R^2 is C_1 - C_4 alkyl.
588. The compound of claim 567 wherein R^2 is methyl.
589. The compound of claim 567 wherein R^1 is H.
590. The compound of claim 567 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
591. The compound of claim 567 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
592. A method of resolving a mixture of compounds of Formula Va and Vb:



Va



Vb

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R^3 , R^4 , R^5 , and R^6 are each, independently, H, halo, C_1 - C_8 alkyl, C_2 - C_8 alkenyl, C_2 - C_8 alkynyl, C_3 - C_7 cycloalkyl, C_1 - C_8 haloalkyl, hydroxy, OR^9 , alkoxyalkyl, $C(O)$ -alkyl, $C(O)O$ -alkyl, $C(O)NH$ -alkyl, hydroxyalkyl, $NR^{10}R^{11}$, CN, NO_2 , heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C_1 - C_8 alkyl, halo, C_1 - C_8 haloalkyl, and

alkoxy; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{8a} and R^{8b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R⁹ is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R¹⁰ and R¹¹ are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R¹⁰ and R¹¹ together with the N atom to which they are attached form a heterocyclic ring; comprising:

contacting said mixture of compounds with a chiral resolving acid to form chiral resolving acid salts of said compounds, wherein said chiral resolving acid comprises substantially one stereoisomer; and precipitating said chiral resolving acid salts of said compounds, wherein the resulting precipitate is enriched in the chiral resolving acid salt of one of said compounds of Formula Va or Vb.

593. The method of claim 592 wherein said chiral resolving acid is tartaric acid.

594. The method of claim 592 wherein said chiral resolving acid is L-(+)-tartaric acid.

595. The method of claim 592 wherein said precipitate is enriched in the chiral resolving acid salt of said compound of Formula Va.

596. The method of claim 592 wherein:

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, or CH₂OH;

R³ and R⁶ are each H;

R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

- (A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;
- (B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;
- (C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and
- (D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

597. The method of claim 596 wherein R¹ is H.

598. The method of claim 596 wherein R¹ is C₁-C₈ alkyl.

599. The method of claim 596 wherein R² is methyl, ethyl, n-propyl, or isopropyl.

600. The method of claim 596 wherein R² is methyl.

601. The method of claim 596 wherein R⁴ is Cl, Br, haloalkyl, CF₃, thiophenyl, furanyl, pyrrolyl, pyrazolyl, or imidazolyl.

602. The method of claim 596 wherein R⁴ is Cl.

603. The method of claim 596 wherein R⁵ is methoxy, ethoxy, n-propoxy, isopropoxy, allyloxy, thiophenyl, furanyl, pyrrolyl, pyrazolyl, imidazolyl, or phenyl, wherein said imidazolyl is optionally substituted by one or more halo or methyl and said phenyl is optionally substituted with up to two substituents selected from C₁-C₈ alkyl, C₁-C₈ haloalkyl, halo, and alkoxy.

604. The method of claim 596 wherein R⁵ is H.

605. The method of claim 592 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

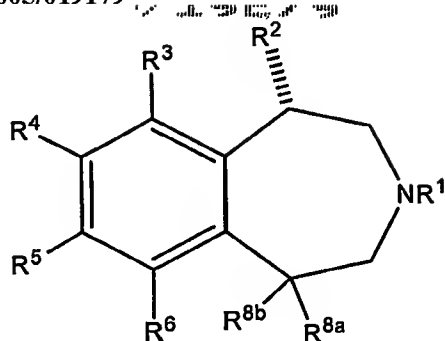
provided that:

(H) when R² is C₁-C₄ alkyl or -CH₂-O-(C₁-C₄ alkyl), then R³ and R⁶ are not both H; and

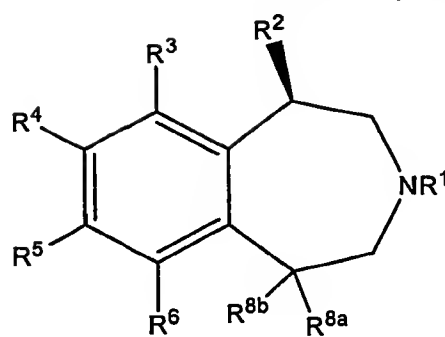
(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

606. The method of claim 605 wherein R¹ is H.

607. The method of claim 605 wherein R^1 is C_1 - C_8 alkyl.
608. The method of claim 605 wherein R^2 is C_1 - C_4 alkyl or C_1 - C_4 haloalkyl.
609. The method of claim 605 wherein R^2 is methyl, ethyl, isopropyl, n-butyl, or CF_3 .
610. The method of claim 605 wherein R^3 , R^4 , R^5 , and R^6 are each, independently, H, methyl, NH_2 , CN, halo, CF_3 , NO_2 , or OH.
611. The method of claim 592 wherein R^{7a} , R^{7b} , R^{8a} , and R^{8b} are each H.
612. The method of claim 592 wherein R^3 and R^6 are each H.
613. The method of claim 592 wherein R^3 , R^5 , and R^6 are each H.
614. The method of claim 592 wherein R^4 is halo.
615. The method of claim 592 wherein R^4 is Cl.
616. The method of claim 592 wherein R^2 is C_1 - C_4 alkyl.
617. The method of claim 592 wherein R^2 is methyl.
618. The method of claim 592 wherein R^1 is H.
619. The method of claim 592 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
620. The method of claim 592 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
621. A chiral resolving acid salt of a compound of Formula Va or Vb:



Va



Vb

wherein:

R¹ is H or C₁-C₈ alkyl;

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR¹⁰R¹¹, CN, NO₂, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{8a} and R^{8b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R⁹ is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R¹⁰ and R¹¹ are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R¹⁰ and R¹¹ together with the N atom to which they are attached form a heterocyclic ring.

622. The salt of claim 621 wherein said salt is a tartaric acid salt.

623. The salt of claim 621 wherein said tartaric acid is L-(+)-tartaric acid.

624. The salt of claim 621 wherein said salt is a tartaric acid salt of a compound of Formula Va or a compound of Formula Vb.

625. The salt of claim 621 wherein:

R² is C₁-C₈ alkyl, -CH₂-O-(C₁-C₈ alkyl), C(O)O-(C₁-C₈ alkyl), -C(O)NH-(C₁-C₈ alkyl), OH, or CH₂OH;

R³ and R⁶ are each H;

R⁴ and R⁵ are each, independently, H, halo, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, NHR¹⁰, NR¹⁰R¹¹, aryl, or heteroaryl, wherein said aryl can be substituted with up to two substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy, and said heteroaryl can be optionally substituted with up to two substituents selected from halogen and C₁-C₈ alkyl; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{7a} is H;

R^{7b} is H or C₁-C₈ alkyl;

R^{8a} and R^{8b} are each H; and

R¹⁰ and R¹¹ are each, independently, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ haloalkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, or allyl;

provided that:

(A) if R² is methyl and R⁴ is H, then R⁵ is not thiazole, substituted thiazole or a thiazole derivative;

(B) if R^{7a} is H and R^{7b} is other than H, then neither R⁴ nor R⁵ can be H;

(C) if R¹ and R² are methyl, and R⁵ is H then R⁴ is not NHR¹⁰ or NR¹⁰R¹¹; and

(D) if R¹ and R² are methyl and R⁵ is H, then R⁴ is not imidazolyl, substituted imidazolyl, or an imidazole derivative.

626. The salt of claim 621 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

provided that:

(H) when R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or CH₂OH, then R³ and R⁶ are not both H; and

(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

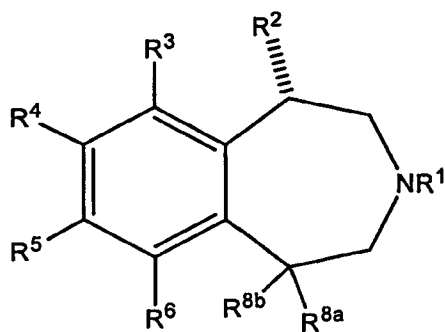
627. The salt of claim 621 wherein R^{8a} and R^{8b} are each H.

628. The salt of claim 621 wherein R³ and R⁶ are each H.

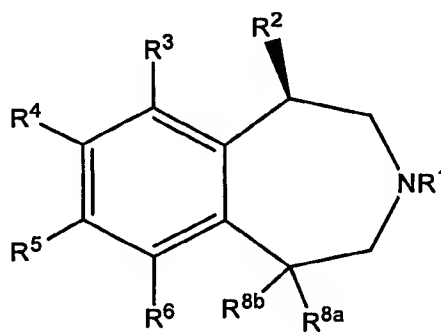
629. The salt of claim 621 wherein R³, R⁵, and R⁶ are each H.

630. The salt of claim 621 wherein R⁴ is halo.

631. The salt of claim 621 wherein R^4 is Cl.
632. The salt of claim 621 wherein R^2 is C_1 - C_4 alkyl.
633. The salt of claim 621 wherein R^2 is methyl.
634. The salt of claim 621 wherein R^1 is H.
635. The salt of claim 621 wherein R^1 is H or C_1 - C_4 alkyl, R^2 is C_1 - C_4 alkyl, R^3 is H, R^4 is halo, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
636. The salt of claim 621 wherein R^1 is H, R^2 is Me, R^3 is H, R^4 is Cl, R^5 is H, R^6 is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.
637. A composition comprising at least one chiral resolving acid salt of claim 621.
638. The composition of claim 637 wherein said composition comprises said tartaric acid salt form of a compound of Formula Va and said tartaric acid salt form of a compound of Formula Vb, wherein said composition is enriched in one of either of said tartaric acid salt form of a compound of Formula Va or said tartaric acid salt form of a compound of Formula Vb.
639. A hydrochloric acid salt of a compound of Formula Va or Vb:



Va



Vb

wherein:

R^1 is H or C_1 - C_8 alkyl;

R^2 is C_1 - C_8 alkyl, $-CH_2-O-(C_1-C_8 \text{ alkyl})$, $C(O)O-(C_1-C_8 \text{ alkyl})$, $-C(O)NH-(C_1-C_8 \text{ alkyl})$, OH, C_1 - C_4 haloalkyl, or CH_2OH ;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, hydroxy, OR⁹, alkoxyalkyl, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, hydroxyalkyl, NR¹⁰R¹¹, CN, NO₂, heterocycloalkyl, aryl, or heteroaryl, wherein said aryl and heteroaryl can be substituted with one or more substituents selected from C₁-C₈ alkyl, halo, C₁-C₈ haloalkyl, and alkoxy; or R⁴ and R⁵ together with the atoms to which they are attached form a 5- or 6-member heterocyclic ring having one O atom;

R^{8a} and R^{8b} are each, independently, H, halo, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, alkoxyalkyl, hydroxy, C(O)-alkyl, C(O)O-alkyl, C(O)NH-alkyl, or hydroxyalkyl, or R^{8a} and R^{8b} together with the carbon atom to which they are attached form a C₃-C₇ cycloalkyl group;

R⁹ is H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl; and

R¹⁰ and R¹¹ are each, independently, H, C₁-C₈ alkyl, C₁-C₈ alkenyl, C₁-C₈ alkynyl, C₃-C₇ cycloalkyl, C₁-C₈ haloalkyl, aralkyl, aryl, heteroaryl, heteroarylalkyl, or allyl, or R¹⁰ and R¹¹ together with the N atom to which they are attached form a heterocyclic ring.

640. The salt of claim 639 wherein:

R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), C₁-C₄ haloalkyl, or CH₂OH;

R³, R⁴, R⁵, and R⁶ are each, independently, H, halo, C₁-C₄ alkyl, C₁-C₄ haloalkyl, hydroxy, NH₂, CN, or NO₂; and

R^{7a}, R^{7b}, R^{8a}, and R^{8b} are each H;

provided that:

(H) when R² is C₁-C₄ alkyl, -CH₂-O-(C₁-C₄ alkyl), or CH₂OH, then R³ and R⁶ are not both H;

and

(I) when R² is CH₃, then R³, R⁴, and R⁶ are each H and R⁵ is not H or isopropyl.

641. The salt of claim 639 wherein R^{8a} and R^{8b} are each H.

642. The salt of claim 639 wherein R³ and R⁶ are each H.

643. The salt of claim 639 wherein R³, R⁵, and R⁶ are each H.

644. The salt of claim 639 wherein R⁴ is halo.

645. The salt of claim 639 wherein R⁴ is Cl.

646. The salt of claim 639 wherein R² is C₁-C₄ alkyl.

647. The salt of claim 639 wherein R² is methyl.

648. The salt of claim 639 wherein R¹ is H.

649. The salt of claim 639 wherein R¹ is H or C₁-C₄ alkyl, R² is C₁-C₄ alkyl, R³ is H, R⁴ is halo, R⁵ is H, R⁶ is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

650. The salt of claim 639 wherein R¹ is H, R² is Me, R³ is H, R⁴ is Cl, R⁵ is H, R⁶ is H, R^{7a} is H, R^{7b} is H, R^{8a} is H, and R^{8b} is H.

651. A composition comprising at least one hydrochloric acid salt of claim 639.